Connecting via Winsock to STN

Welcome to STN International! Enter x:

Welcome to STN International! Enter x:

Welcome to STN International! Enter x:

Sorry. Your logon could not be completed because no recognized response was received from the gateway system. Please check the gateway "Prompt Characters strings".

Welcome to STN International! Enter x:x

LOGINID:ssspta1203mxm

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

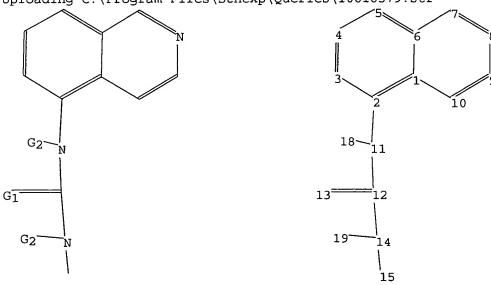
Welcome to STN International

* * * STN Columbus * * *

FILE 'HOME' ENTERED AT 14:41:04 ON 09 MAR 2005

=> file reg

Uploading C:\Program Files\Stnexp\Queries\10616579.str



chain nodes :

11 12 13 14 15 18 19

ring nodes :

1 2 3 4 5 6 7 8

chain bonds :

2-11 11-12 11-18 12-13 12-14 14-15 14-19

ring bonds :

1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10

exact/norm bonds :

2-11 11-12 11-18 12-13 12-14 14-15 14-19

normalized bonds :

1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:0,S

G2:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 0,S

G2 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 325 SEA SSS FUL L1

=> file ca

=> s 13

L4 15 L3

=> d ibib abs fhitstr 1-15

L4 ANSWER 1 OF 15 CA ACCESSION NUMBER: TITLE:

COPYRIGHT 2005 ACS on STN
141:174087 CA
Preparation of fused azabicyclic compounds that
inhibit vanilloid receptor subtype 1 (VR1)
Lee, Chih-Hung; Bayburt, Erol K.; Didomenico, Stanley;
Drizin, Irene; Gomtsyan, Arthur R.; Koenig, John R.;
Perner, Richard J.; Schmidt, Robert G.; Turner, Sean
C.; White, Tammie K.; Zheng, Guo Zhu
USA INVENTOR (S):

C., White, Tammie K., Zheng, Guo Zhu USA
U.S. Pat. Appl. Publ., 93 pp., Cont.-in-part of U.S. Ser. No. 364,210.
CODEN: USXXCO
Patent
Bright 3

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE APPLICATION NO. PATENT NO. 20030805 20030211 20040804 BZ, CA, CH, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW ZM, ZW, AM, PT, RO, SE, ML, MR, NE,

A2 20030211 P 20020220 A 20030805

US 2003-364210 US 2002-358220P US 2003-634678 OTHER SOURCE(S): MARPAT 141:174087

Compds. of formula I [X1-X5 = (substituted) N, (substituted) CH; Z1 = 0, NH, S; Z2 = bond, NH, O; L = alkylene, cycloalkylene, piperazinediyl, etc.; R5-R5 = H, alkyl, alkenyl, alkonyl, carboxy, cycloalkyl, formyl,

L4 ANSWER 2 OF 15 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMEER: 141:157010 CA

TITLE: N-Isoquinolin-5-yl-N'-aralkyl-urea and -amide antagonists of human vanilloid receptor 1

AUTHOR(S): Jetter, Michele C.; Youngman, Mark A.; McNally, James J.; Zhang, Sui-por Dubin, Adrienne E.; Nasser, Nadia; Dax, Scott L.

CORPORATE SOURCE: Johnson Pharmaceutical Research and Development. Spring House, PA, 19477, USA

Bioorganic & Medicinal Chemistry Letters (2004), 14(12), 3053-3056

CODEN: BMCLEB; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

JOURNET TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:157010

AB Starting from a low micromolar agonist lead identified by high-throughput screening, series of N-isoquinolin-5-yl-N'-aralkyl ureas and analogous amides were developed as potent antagonists of human vanilloid receptor 1 (VRI). The synthesis and structure-activity relationships (SAR) of the series are described.

IT 581809-67-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of n-isoquinolin-5-yl-N'-aralkyl-urea and -amide including their structure-activity relationships as antagonists of human vanilloid receptor 1)

RN 581809-67-8 CA

CN Urea, N-5-isoquinolinyl-N'-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 1 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued) mercapto, etc., R10 = H, aryl, cycloalkyl, heterocyclyl] are prepd. as vanilloid receptor subtype 1 (VR1) antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity. Thus, II was prepd. from 5-aminoisoquinoilne and 2-(3-fluorophenyl)ethylamine. The prepd. compds. were found to be antagonists of VR1 with IC50 of 0.1 nH to 1000 nH.
501809-65-69

581809-65-6P
RI, PAC (Pharmacological activity), SFN (Synthetic preparation), THU
(Therapeutic use), BIOL (Biological study), PREP (Preparation), USES
(Uses)
(preparation of fused szabicyclic compds. as vanilloid receptor 1
inhibitors)
581809-65-6 CA

N-[2-(3-fluorophenyl)ethyl]-N'-5-isoquinolinyl- (9CI) (CA INDEX

L4 ANSWER 3 OF 15 CA COFYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
141:46759 CA
Design and synthesis of Rho kinase inhibitors (I)
Takami, Atsuyar Iwakubo, Masayukir Okada, Yujir,
Kawata, Takehisar Odal, Hideharu, Takahashi, Nobuakir,
Shindo, Kazutoshir Kimura, Kanamer Taqami, Yoshimichir,
Miyake, Mikar Fukushima, Kayokor Inagakir, Masakir,
Amano, Mutsukir, Kaibuchi, Kozor Ijima, Hiroshi
Pharmaceutical Research Laboratories, Kirin Brewery
Co. Ltd., Gunma, Takasaki-shi, 370-1295, Japan
Bioorganic & Medicinal Chemistry (2004), 12(9),
2115-2137
CODEN: NMECEF; ISSN: 0968-0896

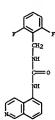
FUBLISHER: Elsevier Ltd.
Journal
LANGUAGE: Gaglish
COTHER SOURCE(S): CASREACT 141:46759

AB Several structurally unrelated scaffolds of the Rho kinase inhibitor were
designed using pharmacophore information obtained from the results of a
high-throughput screening and structural information from a homol, model
of Rho kinase. A docking simulation using the ligand-binding pocket of
the Rho kinase model helped to comprehensively understand and to predict
the structure-activity relationship of the inhibitors. This understanding
was useful for developing new Rho kinase inhibitors of higher potency and
selectivity. We identified several potent platforms for developing the
Rho kinase inhibitors, namely, pyridine, lH-indazole, isoquinoline, and
phthalimide.

17 709046-03-98

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(design and synthesis of Rho kinase inhibitors)

NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 15 CA ACCESSION NUMBER: TITLE: COPYRIGHT 2005 ACS on STN 140:111290 CA Preparation of naphthalenylureas, quinolinylureas, and isoquinolinylureas as modulators of vanilloid VR1

Isoquinoiinyiureas as modulators or Vanilloid VRI receptor ligands.
Codd, Ellen; Dax, Scott L.; Jetter, Michele; Mcdonneil, Mark; Mcnally, James J.; Youngman, Mark Janssen Pharmaceutica N.V., Belg.
FCT Int. Appl., 205 pp.
CODEN: PIXXD2 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

LANGUAGE:

MILY A	ACC.	NUM.	COU	NT:	1												
ATENT 1	NFOR	MATI	ON:														
							$\overline{}$										
PA7	CENT :	NO.			KIN	o ∕⁵	DATE			APPL	I CAT	I ON	NO.		D.	ATE	
						-/		+							-		
WO	2004	0074	59		A2	1	2004	012Þ		WO 2	003-	US21	518		2	0030	710
WO	2004	0074	59		A3	1	2004	0378									
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		CO.	CR,	CU,	CZ,	DE.	DK	DM.	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
US	2004	1578	65		A1		2004	0812		US 2	003-	6165	79		2	0030	710
RIORITY	APP	LN.	INFO	. :						US 2	002-	3957	28P	1	P 2	0020	712
										US 2	002-	3959	51P	1	P 2	0020	715
TUTED SC	משמוור	151 .			MARI	DAT	140 -	1112	QΛ								

Title compds. [I: R1, R2 = H, OH, halo, (substituted) alkyl, alkoxy, alkylthio, cycloalkyl, cycloalkoxy, etc.: R3 = H, OH, F, Cl, NO2, amino: L = (substituted) alkylene: R4, R5 = H, alkyl: R6 = (substituted) Ph, naphthyl, heteroaryl, yelcoalkyl, heterocylyl: X = CH, N, NO: Y = C, N; Z = O, S], were prepared as potent antagonists or agonists of VRl which are useful for the treatment and prevention of inflammatory and other pain. Thus, (1-chloroisoquinolin-5-yl]carbamic acid Ph ester and 4-trifluoromethylbenzylamine were stirred overnight in DMSO to give 61%

L4 ANSWER 5 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:

INVENTOR(S):

CA COPYRIGHT 2005 ACS on STN
139:292162 CA
Heteroaromatic ureas as vanilloid receptor (VR1)
modulators, in particular antagonists, for treating
pain and/or inflammation
Brown, Rebecca Elizabeth, Doughty, Victoria Alexandra,
Hollingworth, Gregory John, Jones, A. Brian; Lindon,
Hatthew John, Moyes, Christopher Richard, Rogers,
Lauren

Lauren
Merck Sharp & Dohme Limited, UK
PCT Int. Appl., 110 pp.
CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:

PATENT INFORMATION:

WO 2003080578 A1 C0031002

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CC, CR, CU, CZ, (DE, DK, BH, DZ, EC, EE, S, FI, 6B, GG, GE, GH, CH, LT, LU, LV, HA, HB, MA, MK, MN, MN, MK, MK, MZ, MI, NO, NZ, CM, HE, FI, FI, RO, RU, SC, SD, SE, SG, SK, SI, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZA, ZV

RW: GH, GH, KE, LS, WW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AW, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, GC, AZ479150

AA 20031002 CA 2003-2479150

EP 140340 A1 20041229 EP 2003-710014

RI AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, ST, IT, IT, IV, FI, RO, MC, CG, WC, VA, VI, VI, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPIN. INFO::

MARPAT 139:292162

OTHER SOURCE(S):

Title compds. I (wherein A, B, D, E are each C or N with the proviso that one or more are N: Ri, R2 = independently H, halo, alk(enyl/ynyl), haloalkyl, hydroxyalkyl, cycloalkyl, cycloalkyl, NH2 and derivs., COZH and derivs., (un)substituted alkyl, alkoxy: R3, R4 = independently H, alk(en/yn)yl: R5, R6 = at each occurrence, independently H, alk(en/ynyl), alkxy, acyloxy, advboxy and derivs., COXH2 and derivs., sulfonyl(alkyl/amino), aryl, betero(aryl/cyclyl), (un)substituted alkyl; or CR5R6 = 3-6 carbocytlic merbered ring; R7, R8 = at each occurrence, independently H, alk(en/yn)yl, cycloalkyl, fluoroalkyl; or NR7R8 =

ANSWER 4 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)
1-(1-chloroisoquinolin-5-y1)-3-(4-trifluoromethylbenzyl)urea. I bound to
WRI receptors with Ki = 0.10-100,000 nM.
sais02-37-897

Selsoy-6;-ey RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

es, (preparation of naphthalenylureas, quinolinylureas, and isoquinolinylureas

as modulators of vanilloid VR1 receptor ligands) 581809-67-8 CA

Urea, N-5-isoquinolinyl-N'-{[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER S OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

(un) substituted 4-7 heteroaliph. membered ring; X = 0, S or =NCN; Y = aryl, heteroaryl, carbocyclyl, fused carbocyclyl group; n = 0, 1, 2, 3; and their pharmaceutically acceptable salts, Nowides, and prodrugs] were preped. as vanilloid receptor (VRI) modulators, in particular antagonists, for treating conditions or diseases in which pain and/or inflammation predominates. For example, l-isoquinolin-5-y1-3-(3-phenylpropyl) ures was prepd, by reacting isoquinoline-5-carboxylic acid with diphenylphopaphryl azide in toluene at reflux for 1 h through a Curtius rearrangement, followed by addn. of 3-phenylpropylamine and reflux for 18 h. I bound to the VRI receptor with an ICSO < 1 µM, and in the majority of cases, < 200 nM. I are predominantly VRI antagonists with a few of them VRI partial atagonists. Thus, I and their pharmaceutical compns. are useful for treating pain and/or inflammation. 58109-67-8P, 1-isoquinolin-5-y1-3-[4-(trifluoromethyl)benzyl]urea RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RCT (Reactant or reagent); USES (Uses)

(VRI receptor ligand; preparation of heteroarom. ureas as vanilloid splor

modulators for treating pain and inflammation)
581809-67-8 CA
Urea, N-5-isoquinolinyl-N'-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA
INDEX NAME)

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:197383 CA

TITLE: Preparation of fused azabicyclic compounds that inhibit vanilloid receptor subtype 1 (VR1)

Lee, Chih-Hung: Bayburt, Erol K.; Didomenico, Stanley; Drizin, Irene; Gontsyan, Arthur R.; Koenig, John R.; Perner, Richard J.; Schmidt, Robert G.; Turner, Sean C.; White, Tammie K.; Zheng, Guo Zhu

PATENT ASSIGNEE(S): USA

POULMENT TYPE: Patent

LANGIGAGE: English

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT:

PATENT	INFO	ITAM	ON:						\								
P	TENT	NO.			KIN	D /	DATE	:		APP	LICAT	ION	NO.		D	ATE	
US	2003	31581	 98		λ1	٦,	2003	0821		US	2003-	3642	10		2	0030	211
C	2476	5936			AA	_ \	2003	0828		CA	2003-	2476	936		2	0030	211
W	2003	0702	47		A1	•	2003	0828	/	WO	2003-	US41	87		2	0030	211
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	RW:	AT.	BE.	BG.	CH.	CY.	CZ.	DE,	DK.	EE	, ES,	FI,	FR,	GB,	GR,	HU,	IE.
								SI.									
E	1478	363			A1		2004	1124		EP	2003-	7160	14		2	0030	211
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SX	
US	2004	1578	49		A1		2004	0812		US	2003-	6346	78		2	0030	805
US	2004	2098	84		A1		2004	1021		US	2004-	8423	11		2	0040	510
PRIORIT	Y APE	LN.	INFO	.:						US	2002-	3582	20P		P 2	0020	220
										US	2002-	7932	4		A 2	0020	220
										US	2003-	3642	10		A 2	0030	211
										WO	2003-	US41	87	1	7 2	0030	211

OTHER SOURCE(S): MARPAT 139:197383

Compds. of formula I [X1-X5 = (substituted) N, (substituted) CH; 21 = 0, NH, S; Z2 = bond, NH, O; L = alkylene, cycloalkylene, piperazinediyl, etc.; R5-R9 = H, alkyl, alkenyl, alkonyl, carboxy, cycloalkyl, formyl, mercapto, etc.; R10 = H, aryl, cycloalkyl, heterocyclyl] are prepared as vanilloid receptor subtype 1 (VR1) antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder AB

L4 ANSWER 7 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:197382 CA
TITLE: Preparation of isoquinolines, indoles, and related compounds as antagonists of vanilloid receptor subtype TITLE:

INVENTOR (S) .

Compounds as antagonists of Vanillolo receptor. 1 (VRI).

Lee, Chih-Hung; Bayburt, Erol X.; Didomenico, Stanley; Drizin, Irene; Gomtsyan, Arthur R.; Koenig, John R.; Perner, Richard J.; Schmidt, Robert G.; Turner, Sean C.; White, Tammie K.; Zheng, Guo Zhu USA
U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO

PATENT ASSIGNEE(S): SOURCE:

Patent English DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Title compds. (I; X1 = N, CR1; X2 = N, CR2; X3 = N, NR3, CR3; X4 = null, N, CR4; X5 = N, CH2; 21 = O, NH, S; Z2 = NH, O; L = piperazinylene, alkenylene, alkenylene, alkylene, alkylene, alkylene, cycloalkylene, (CH2)=D(CH2)=D, NHO, NHNH; n, n = 1-6; Rl, R3, R5, R6, R7 = H, alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, A, ACO, ACOA, ACO2, AS, alkynyl, CO2H, ACO2H, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, ethylenedioxy, CHO, ACHO, haloalkoxy, haloalkyl, haloalkylthio, halo, OH, HOA, methylenedioxy, SH, ASH, NO2, (CF3)2(HO)C, NRASOZHB, SOZORA, SOZER, NZAZB, (NZAZB)A, (NZAZB)CO, (NZAZB)COA, (NZAZB)COA, CAZB)SOZ, ZA, ZB = H, A, ACO, CHO, aryl, aralkyl; R2, R4 = H, alkenyl, AO, alkoxyalkoxy, AOA, AO2C,

ANSWER 6 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued) overactivity. Thus, II was prepd. from 5-aminoisoquinoline and 2-(3-fluorophenyl)ethylamine. The prepd. compds. were found to be antagonists of VR1 with IC50 of.1 mM to 1000 nM. 5e1e09-65-69

591809-53-69 RE: PAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use), BIOL (Biological study); PREP (Preparation), USES

(preparation of fused azabicyclic compds. as vanilloid receptor 1 inhibitors) 581809-65-6 CA

Urea, N-[2-(3-fluorophenyl)ethyl]-N'-5-isoquinolinyl- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)
AO2CA, A, ACO, ACOA, ACOZ, AS, alkynyl, COZH, carboxyalkyl, cyano,
cyanoalkyl, cycloalkyl, cycloalkylalkyl, ethylenedicxy, CHO, ACHO,
haloalkoxy, haloalkyl, sholalkylalkyl, ethylenedicxy, CHO, ACHO,
haloalkoxy, haloalkyl, haloalkylathio, halo, OH, HOA, methylenedicxy, SH,
HSA, NO2, (CF3)2(HO)C, NRAS(O) ZRB, SOZORA, SOZRB, NZAZB, (NZAZB) alkyl,
(NZAZB)CO, (NZAZB)CO, (NZAZB)SOZO, (NZAZB)SOZ, (NZAZB)C(SNH),
(NZAZB)C(INCN)NH, (NZAZB)C(INH)NH; RA - H, A; RB - A, aryl, aralkyl; R8 null, H, A; R9 - H, aryl, heterocycle; A - alkyl; dotted line - optional
double bondl, were prepd. for treating pain, inflammatory thermal
hyperalgesia, urinary incontinence and bladder overactivity (no data).
Thus, 2,2,2-trichloro-M-isoquinolin-5-ylacetamide, (pepp. given) DBU, and
2-(3-fluorophenyl)ethylamine in acetonitrile were refluxed for 10 h to
give 651 N-(2-(3-fluorophenyl)ethyl-N'-isoquinolin-5-ylurea.
581810-09-59
RL; PAC (Pharmacological activity), RCT (Reactant); SPN (Synthetic

591810-09-5P
RI: PAC (Pharmacological activity); RCT (Reactant); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (claimed compound; preparation of isoquinolines, indoles, and related

as antagonists of vanilloid receptor subtype 1)
581810-09-5 CA
Urea, N-[(3,5-dimethylphenyl)methyl]-N'-5-isoquinolinyl- (9CI) (CA INDEX
NAME)

L4 ANSWER 8 OF 15 CA ACCESSION NUMBER: COPYRIGHT 2005 ACS on STN 139:85361 CA 139:85361 CA
Preparation of ureas as vanilloid receptor (VRI)
antagonists
Rami, Harshad Kantilal, Thompson, Mervyn
Smithkline Beecham P.L.C., UK
PCT Int. Appl., 30 pp.
CODEN: PIXXD2
Patent TITLE: INVENTOR (5): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	ENT :	NO.					DATE								D.	ATE	
	WO 2003053945																
WO	2003	0539	45		A2		2003	0703		WO 2	002-	GB58	12		2	0021	219
WO	2003	0539	45		A3		2004	0311									
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		co.	CR.	CU.	CZ.	DE.	DK,	DM.	DZ.	EC.	EE.	ES.	FI.	GB.	GD.	GE.	GH.
		GM.	HR.	HU.	ID.	IL.	IN,	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC.	LK.	LR.
							MD,										
							SE,										
							YU.										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH.	CY,	CZ,	DE.	DK,	EE.	ES,
		FI,	FR,	GB,	GR,	IE,	IT.	LU.	MC.	NL,	PT.	SE,	SI,	SK.	TR,	BF.	BJ,
		CF.	CG.	CI.	CM.	GA.	GN.	GO.	GW.	ML.	MR.	NE.	SN.	TD.	TG		
EP	1474								EP 2002-788192								
	R:	AT,	BE.	CH,	DE.	DK.	ES,	FR.	GB,	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
							RO,										
PRIORITY APPLN. INFO.:								GB 2						0011	220		
										WO 2	002-	GB58	12	1	7 2	0021	219
OTHER SO	URCE	(S):			MARI	PAT	139:	8536									

L4 ANSWER 9 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:79144 CA
Freparation of 5-member cyclic compounds as antinfilanmatory agents
INVENTOR(S): Fujiwara, Norior Fujita, Kazushi; Yasutoku, Fujior Kanzawa, Toshishige; Kawakami, Hajime
SOURCE: Sumitomo Pharmaceuticals Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 72 pp.
CODEN: JKCKAF

DOCUMENT TYPE:

Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND PPLICATION NO. DATE JP 2003192591 20020709 JP 2001-396157 JP 2001-396157 20011227 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI

The title compds. (I; X = 0, S; Rl = H, (substituted)alkyl, etc.; R2 = (substituted)alkyl or aryl; Yl = alkylene, etc.; R3 = (substituted)aryl; Y2 = (substituted)alkylene; r4 = H, alkanoyl, etc.; R5 = H, etc.) and their salts are claimed as antiinflammatory agents antiallergics by inhibiting leukocyte infiltration and are useful for treatment of succommune diseases. I and their salts were prepared 553684-63-2P

553684-63-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-member cyclic compds. as antiinflammatory agents)
553684-63-2 CA
Carbamic acid, [3-[[(5-isoquinolinylamino)thioxomethyl]amino]propyl]-,
1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 8 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

The title compds. [Ir F = Ph, naphthyl, heterocyclyl; R1 = H, halo, alkyl, etc.; R2 = IIi; X = a bond, C, O, NR8; R3 = H, halo, alkyl, etc.; R4 = H, alkyl; R8 = H, alkyl; aryl; n = 2-6; p = 0-4; q = 0-3; r = 0-2], useful for treating disorders or diseases in which an antagonist of the vanilloid receptor (VR1) is beneficial, were prepared Thus, reacting 2-(7-fluoro-2,3-dihydrobenzo[1,4] oxazin-4-yl) ethylamine (preparation given) with 2-bromophenyl isocyanate in CH2C12 afforded 96% III which had a pKb > 7.0 in test for vanilloid receptor (VR1) antagonist activity.

55266-91-89 ΙT

Ble PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureas as vanilloid receptor (VR1) antagonists)
552866-91-8 CA
Urea, N-[2-(7-fluoro-2,3-dihydro-4H-1,4-benzoxazin-4-yl)ethyl]-N'-(1-methyl-5-isoquinolinyl)- (9CI) (CA INDEX NAME)

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5362878	A	19941108	US 1992-916651	19920720
ES 2076865	B1	19960801	ES 1993-1508	19930705
ES 2076865	A1	19951101		
US 5656634	A	19970812	US 1994-251075	19940531
PRIORITY APPLN. INFO.:			US 1991-648677	B2 19910321
			US 1992-916651	19920720

OTHER SOURCE(S): MARPAT 123:198626

Compds. of the formula I wherein R21 is C1-3-alkyl and R22 is H or C1-3-alkyl which are intermediates useful in the preparation of compds. of

formula RINHCOQ (II) and the pharmaceutically acceptable salts thereof, wherein Q and Rl are as defined in the specification. The compds. of formula II are inhibitors of acyl CoA: cholesterol acyltransferase (ACAT) and are useful as hypolipidemic and antiatherosclerosis agents (no data). Pharmaceutical formulations were given.

134989-87-0

RL: SPN (Synthetic preparation); PREF (Preparation) (intermediates for making N-aryl and N-heteroarylamide and urea derivs. as inhibitors of acyl CoA: cholesterol acyl transferase)

134989-87-0

CA

Urea, N-heptyl-N'-5-isoquinolinyl-N-[{4-{3-methylbutyl}phenyl]methyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 11 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)
3-bromo-8-{2,6-dichloro-3-nitrobenzyloxy}-2-methylimidazo[1,2-a]pyridine.
I at 10-5 H gave 95-1004 inhibition of 3H-bradykinin binding to guinea pig
ileum prepns.
160645-13-6B
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bradykinin antagonist)
160645-13-6 CA
Acetamide, N-{3-[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]2,4-dichlorophenyl]-2-[((5-isoquinolinylamino)carbonyl]amino]-N-methyl(SCI) (CA INDEX NAME) ΙT

LA ANSWER 11 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:105879 CA
TITLE: Preparation of imidazo[1,2-a]pyridines as bradykinin antagonists.
Oku, Teruor Kayakiri, Hiroshir Satoh, Shigekir Abe, Yoshitor Yukir, Savadar Tanaka, Hirokazu
PATENT ASSIGNEE(S): SUURCE: PYUSHAWA Pharmaceutical Co., Ltd., Japan
BULP, PALE, Appl., 117 pp.
CODEN: EPYXUW
Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT 1	NO.	KIND	DATE	AP	PLICATION NO.		DATE
EP 5964	06	A1	19940511	EP	1993-117474		19931028
EP 5964	06	B1	19981216				
R:	AT, BE, CH,	DE, DK	, ES, FR,	GB, G	R, IE, IT, LI	, LU, N	IL, PT, SE
AU 9350:	242	A1	19940512	AU	1993-50242		19931026
AU 6861:	15	B2	19980205				
ZA 9308	011	A	19940609	ZA	1993-8011		19931027
IL 1074	26	A1	19970713	IL	1993-107426		19931027
AT 1745	96	E	19990115	AT	1993-117474		19931028
ES 2125	294	T3	19990301	E5	1993-117474		19931028
CA 2102	137	AA	19940503	CA	1993-2102137	,	19931101
CN 10899	947	A	19940727	CN	1993-119684		19931101
HU 6630	2	A2	19941128	HU	1993-3119		19931102
JP 07300	0478	A2	19951114		1993-274643		19931102
JP 27630	036	B2	19980611				
US 55740	042	A	19961112	US	1995-441786		19950516
US 5750	699	A	19980512	US	1996-662198		19960612
PRIORITY APPI	LN. INFO.:			GB	1992-22947	A	19921102
				GB	1993-4249	A	19930303
					1993-142967		19931029
					1994-235632		19940429
					1995-441786		19950516
						n	22220010

MARPAT 122:105879

Title compds. [I, Rl = halo; R2, R3 = H, alkyl, haloalkyl, acyl, R4 = aryl having suitable substituent(s), heterocyclyl optionally having suitable substituent(s); Q = 0 or NR11; R1 = H, acyl; and A = alkylenel, were prepared Thus, 8 - (2, 6 - dichloro-3 - nitrobenyloxy) - 2-methylimidazo(1, 2-a)pyridine was stirred with N-bromosuccinimide in EtOH/dioxane to give

L4 ANSWER 12 OF 15 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

TITLE:

Autiparasitic agents. Part XV. Synthesis of
2-substituted 1(3)H-imidazo(4,5-f)isoquinolines as
anthelmintic agents

Kumar, Pramodi Agarwal, Shiv K.; Bhakuni, D. S.

DOURCE:

SOURCE:

LAGIAN JOURNAL OF Chem., Cent. Drug Res. Inst., Lucknow, 226
001, India
Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1992),
31B(3), 177-82
CODEN: 1JSEDB; ISSN: 0376-4699
JOURNAL
LANGUAGE:
English

5-{2,4-Dioxo-1H-quinazolin-3-yl)isoquinoline (I) and 2-(methyl-/carbomethoxyamino-/furyl-/trifluoromethyl)-1(3)H-imidazo[4,5-f]isoquinolines, e.g. II, were synthesized and tested for their anthelmintic and antifilarial activities against Ancylostoma ceylanicum, Nippostrongylus brasiliensis, Hymenolepis nana, and Litozosides carinii. Thus, 5-acetamidoisoquinoline was nitrated followed by reduction to give 5-acetamido-6-aminoisoquinoline, which was cyclized by HCl to give II. 140192-80-9p
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 140192-80-9 CA
Thiourea, N-ethyl-N'-5-isoquinolinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:71632 CA Preparation of new N-aryl and N-heteroaryl amide and
-urea derivatives as inhibitors of acyl coenzyme
Archolesterol acyltransferase
MCCarthy, Peter A., Walker, Frederick J.; Truong,
Thien; Hananaka, Ernest S.; Chang, George
Pfizer Inc., USA
Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGIAGR: EPXXDW
English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT NO.				APP	LICATION NO		DATE
	418071		A2		EP	1990-310009	ı	19900913
	418071		A3					
EP	418071		B1	19950426				
		BE, C		DK, ES, FR,				
WO	9104027		A1	19910404	WO	1989-US4033	1	19890915
	W: FI,	HU, 1						
	95610		A1	19941229		1990-95610		19900907
	298092		A5			1990-343971		19900912
	2025301		AA			1990-202530	1	19900913
	2025301		C					
	609960		A1	19940810	EP	1994-200437		19900913
EP	609960		B1	19990303				
		BE, C		DK, ES, FR,				
	121730		E	19950515	AT	1990-310009	1	19900913
ES	2071033		T3	19950616	ES	1990-310009	1	19900913
AT	177082 2127878		E	19990315	AT	1994-200437	'	
ES	2127878		T3	19990501		1994-200437		19900913
	9004022					1990-4022		19900914
	1050183		A			1990-108294		19900914
	54625		A2	19910328		1990-5991		19900914
	9062553		A1	19910418	AU	1990-62553		19900914
	652345		B2	19940825				
	03120243		A2	19910522	JP	1990-245969	1	19900914
	08025974		B4	19960313				
	9007346		A	19920527		1990-7346		19900914
	165370		B1	19941230	PL	1990-286899	1	19900914
PL	165357		B1	19941230		1990-291470		19900914
	70027		A2	19950928		1993-2945		19900914
	111362		B1	20030715		1990-4537		19900914
PRIORIT	Y APPLN.	INFO.:				1989-US4033		
						1990-310009	A3	19900913
OTHER S	OURCE (S):		MARI	AT 115:7163	2			
GI								

L4 ANSWER 14 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1TITLE:
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
LANGUAGE:
PATENT ACC. NUM. COUNT:
PATENT INFORMATION:

COPYRIGHT 2005 ACS on STN
93:26292 CA
1SOURCE Individual derivatives
Relg., 33 pp.
CODEN: BEXXAL
Patent
French
French
French
French
French
French
French
French
French

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	BE 875797	A1	19791023	BE 1979~194791	19790423
	FR 2424270	A1	19791123	FR 1978-12026	19780424
	FR 2424270	В1	19800919		
	FR 2449087	A2	19800912	FR 1979-4004	19790216
	NL 7902993	A	19791026	NL 1979-2993	19790417
	AU 7946219	A1	19791101	AU 1979-46219	19790420
	GB 2020280	A	19791114	GB 1979-13821	19790420
	GB 2020280	В2	19820728		
	JP 55007260	A2	19800119	JP 1979-48895	19790420
	ZA 7901893	A	19800430	ZA 1979-1893	19790420
	DK 7901669	A	19791025	DK 1979-1669	19790423
	SE 7903554	A	19791025	SE 1979-3554	19790423
	ES 479878	A1	19800816	ES 1979-479878	19790424
	ES 482070	A1	19800401	ES 1979-482070	19790629
PRI	ORITY APPLN. INFO.:			FR 1978-12026 A	19780424
				FR 1979-4004 A	19790216
GT					

The cyclocondensation reaction of aminoisoquinolines I [Z (in the 4-, 5-, 6-, 7-, or 8-position) = S-alkylisothioureido, NRCS2H alkyl ester, isothiocyanator R and RI (same or different) are H, halo, alkyl, alkyck, alkowyalkyl, alkylthio, dialkylaminol with HEXCHICCHEMPE (RZ = H, hydroxyalkyl) gave the resp. (imidazolinylaminolisoquinolines II, useful as antihysertensives (no data). 4 (Thioureido) isoquinolines II, useful REXCHICCHEMPE (RZ = H, hydroxyalkyl) and the isothiourea derivative obtained was heated 7 h with HEXCHICCHEMPE In EtoH to give 4-[(4,5-dihydro-2-inidazolyl) aminolisoquinoline. 72677-81-7277-81-7277-81-7 (Reactant or reagent) (Preparation), PREP (Preparation), RACT (Reactant or reagent) (preparation and deacylation of) 72677-81-7 CA
Benzamide, N-[(5-isoquinolinylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

Approx. 250 title amides and ureas RINHCOQ [Q = CR2R3R4, NR5R6; R1 = (substituted) pyridyl, pyrimidinyl, quinolinyl, pyridoimidazolyl, etc., substituted Phr R2-R4 = H, alkyl, hydrocarbyl, XR7, phenylalkyl, etc., recloalkyl, or R3R3 forms cycloalkyl, bicycloalkyl, bicycloalkyl, etc., R5, R6 = alkyl, phenylalkyl, alkylphenylalkyl, R7 = alkyl, cycloalkyl, phenylalkyl, thiazolyl, pyridyl, etc., X = O, S, SO, SO2, NH, etc., numerous provisos] were prepared as hypolipidemics and antiatherosclerotics (no data). For example, 2-(hexylthio)decanoic acid was refluxed with SOCI2 in CGH6 to give the acid chloride, which was added to 5-amino-4,6-bis(methylthio)-2-methylpyrimidine in CH2Cl2 followed by refluxing and purification to give 72.48 title amide I. 134989-87-00
RL: SPN (Synthetic preparation): PREP (Preparation) (preparation of, as hypolipidemic) 134989-87-0 CA
Urea, N-heptyl-N'-5-isoquinolinyl-N-[{4-(3-methylbutyl)phenyl]methyl}-(9CI) (CA INDEX NAME) AB

L4 ANSWER 14 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 15 OF 15 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 92:111013 CA 111E: 15 coquinoline derivatives
INVENTOR(S): Deprez, Dominique; Farge, Daniel; Hucherot, Jean Jaques; Moutonnier, Claude
Rhone-Poulenc Industries S. A., Fr.
GOCUMENT TYPE: GOWNEK
DOCUMENT TYPE: Patent GWYEKK
DANGUAGE: GERMAN
FAMILY ACC. NUM. COUNT: 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2916577	A1	19791031	DE 1979-2916577	19790424
FR 2424270	A1	19791123	FR 1978-12026	19780424
FR 2424270	B1	19800919		
FR 2449087	A2	19800912	FR 1979-4004	19790216
NL 7902993	A	19791026	NL 1979-2993	19790417
AU 7946219	A1	19791101	AU 1979-46219	19790420
GB 2020280	A	19791114	GB 1979-13821	19790420
GB 2020280	B2	19820728		
JP 55007260	A2	19800119	JP 1979-48895	19790420
ZA 7901893	A	19800430	ZA 1979-1893	19790420
DK 7901669	Α	19791025	DK 1979-1669	19790423
SE 7903554	A	19791025	SE 1979-3554	19790423
ES 479878	A1	19800816	ES 1979-479878	19790424
ES 482070	A1	19800401	ES 1979-482070	19790629
PRIORITY APPLN. INFO .:			FR 1978-12026 #	19780424
			FR 1979-4004 #	19790216

$$\bigcap_{N}^{R} NH \bigcap_{R^{1}}^{N}$$

AB The antihypertensive (no data) compds. I R = H, hydroxyalkyl, R1 and R2 = H, halogen, alkyl, alkoxy, alkoxyalkyl, alkylthio, dialkylamino) and their salts were prepared Thus, 5-(2-methylisothioureidojisoquinoline-HI reacted with HACKIZCHENERIE in EUGH to give 4-([4,5-dihydro-2-imidazolyl) aminojisoquinoline.

IT 72677-91-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrolysis of)

RN 72677-91-7 CA
CN Benzamide, N-([5-isoquinolinylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 15 CA COPYRIGHT 2005 ACS on STN (Continued)

=> file uspatfull

=> s 13 9 L3

=> d ibib abs 1-9

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:

DOCUMENT TYPE:

FILE SEGMENT: LEGAL REPRESENTATIVE:

PARK ROAD, DEPT. 37
NUMBER OF CLAIMS: 97
EXEMPLARY CLAIM: 1
LINE COUNT: 8346
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of formula (I) #\$STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

NUMBER OF CLAIMS: 84
EXEMPLARY CLAIM: 1
LINE COUNT: 7060
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of formula (1) \$\$STR1\$\$

are novel VR1 antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity. CAS INDEXING IS AVAILABLE FOR THIS PATENT. NUMBER KIND DATE US 2004157849 A1 20040812
US 2003-634678 A1 20030805 (10)
Continuation-in-part of Ser. No. US 2003-364210, filed on 11 Feb 2003, PENDING Utility
APPLICATION
STEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT. 377/AP6A, ABBOTT FARK, IL, 60064-6008 97 PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

are novel VR1 antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity.

L5 ANSWER 1 OF 9 USPATFULL on STN
ACCESSION NUMBER: 2004:268341 USPATFULL
TITLE: Pused azabicycic compounds that inhibit vanilloid receptor subtype 1(VR1) receptor
Lee, Chih-Rung, Vernon Hills, IL, UNITED STATES Byburt, Erol K., Gurene, IL, UNITED STATES DIDomenico, Stanley, JR., Richmond, IL, UNITED STATES Frizin, Irene, Wadsworth, IL, UNITED STATES Gomtsyan, Arthur R., Vernon Hills, IL, UNITED STATES Koenig, John R., Chicago, IL, UNITED STATES Perner, Richard J., Gurnee, IL, UNITED STATES Schmidt, Robert G., JR., Vaukegan, IL, UNITED STATES White, Tammie K., Gurnee, IL, UNITED STATES Turner, Sean C., Evanston, IL, UNITED STATES Theng, Guo Zhu, Lake Bluff, IL, UNITED STATES

KIND DATE

US 2004209884 Al 20041021 US 2004-842311 Al 20040510 (10) Division of Ser. No. US 2003-364210, filed on 11 Feb 2003, PENDING

20020220 (60) US 2002-358220P 20020220 (60)
Utility
APPLICATION
STEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT
PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008

NUMBER

NUMBER

```
L5 ANSWER 2 OF 9
ACCESSION NUMBER:
TITLE:
Naphthol, quinoline and isoquinoline-derived urea modulators of vanilloid VRI receptor
Codd, Ellen, Blue Bell, PA, UNITED STATES
Dax, Scott L. Landenberg, PA, UNITED STATES
Jetter, Michele, UNITED STATES
HcDonnell, Mark, Landale, PA, UNITED STATES
HcNally, James J., Souderton, PA, UNITED STATES
Youngman, Mark, Warminster, PA, UNITED STATES
                                                                                                    NUMBER
                                                                                                                                                KIND DATE
                                                                                   US 2004157865
US 2003-616579
                                                                                                                                                   A1 20040812
A1 20030710 (10)
   PATENT INFORMATION:
APPLICATION INFO.:
                                                                                                          NUMBER
                                                                                                                                                        DATE
                                                                                   US 2002-395728P 20020712 (60)
Utility
APPLICATION
PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON &
JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003
120
  PRIORITY INFORMATION:
DOCUMENT TYPE:
FILE SEGMENT:
LEGAL REPRESENTATIVE:
JOHNSON PLAZA, NEW BRUNSWICK, NO, U8933-7003

NUMBER OF CLAIMS: 120

EXEMPLARY CLAIM: 1

LINE COUNT: 7057

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to Vanilloid receptor VR1 ligands. More particularly, this invention relates to naphthol, quincline and isoquincline-derived ureas that are potent antagonists or agonists of VR1 which are useful for the treatment and prevention of inflammatory and other pain conditions in mammals.
  CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L5 ANSWER 4 OF 9
ACCESSION NUMBER:
TITLE:

INVENTOR(S):

2003:226385 USPATFULL
Fused azabicyclic compounds that inhibit vanilloid receptor subtype 1 (VRI) receptor
Lee, Chih-Hung, Vernon Rills, IL, UNITED STATES
Bayburt, Erol K., Gurnee, IL, UNITED STATES
DIDDmenico, Stanley, JR., Richmond, II, UNITED STATES
Gontsyan, Arthur R., Vernon Hils, IL, UNITED STATES
Gontsyan, Arthur R., Vernon Hils, IL, UNITED STATES
Ferner, Richard J., Gurnee, IL, UNITED STATES
Schnidt, Robert G., JR., Waukegan, IL, UNITED STATES
Turner, Sean C., Evanston, IL, UNITED STATES
White, Tammie K., Gurnee, IL, UNITED STATES
Zheng, Guo Zhu, Lake Bluff, IL, UNITED STATES
                                                                                                   NUMBER
                                                                                                                                               KIND
                                                                                                                                                                          DATE
                                                                                                                                                 A1 20030821
A1 20030211 (10)
 PATENT INFORMATION:
APPLICATION INFO.:
                                                                                  US 2003158198
US 2003-364210
                                                                                                          NUMBER
                                                                                                                                                         DATE
                                                                                US 2002-358220F 20020220 (60)
Utility
APPLICATION
SIEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT
PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008
84
 PRIORITY INFORMATION:
DOCUMENT TYPE:
FILE SEGMENT:
LEGAL REPRESENTATIVE:
NUMBER OF CLAIMS: 84
EXEMPLARY CLAIM: 1
LINE COUNT: 7067
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compound of formula (I) ##STRI##
                         are novel VR1 antagonist that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 7 OF 9 USPATFULL ON STN
ACCESSION NUMBER: 97:71069 USPATFULL
N-aryl and N-heteroarylamide and urea derivatives as inhibitors of acyl coenzyme A: cholesterol acyl transferase (ACAT)
Chang, George, Ivoryton, CT, United States Hamanaka, Ernest S., Gales Ferry, CT, United States HCCarthy, Peter A., Pawcatuck, CT, United States Truong, Thien V., Old Saybrook, CT, United States Walker, Frederick J., Preston, CT, United States Walker, Frederick J., Preston, CT, United States Corporation) NUMBER KIND DATE US 5656634 19970812
US 1994-251075 19940531 (8)
Division of Ser. No. US 1992-916651, filed on 20 Jul
1992, now patented, Pat. No. US 5362878 which is a
continuation-in-part of Ser. No. US 1991-648677, filed
on 21 Mar 1991, now abandoned
Utility
Granted
Rotman, Alan L.
Hach, D. Margaret M.
Richardson, Peter C., Ginsburg, Paul H., Bekelnitzky,
Seymour G.
7 PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER: ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: Seymour G.

NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 3701

LINE COUNT: 3701

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## the pharmaceutically acceptable salts thereof, wherein Q and R. sup.1 are as defined below, and nowel carboxylic acid and acid halide intermediates used in the synthesis of such compounds. The compounds of formula I are inhibitors of acyl coenzyme A: cholesterol acyltransferase (ACAT) and are useful as hypolipidemic and antiatherosclerosis agents. CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 9

ACCESSION NUMBER:
TITLE:

INVENIOR(S):

Evaluation of the compounds that inhibit vanilloid receptor subtype 1 (YR1) receptor

Lee, Chih-Hung, Vernon Hills, IL, UNITED STATES

Bayburt, Erol K., Gurnee, IL, UNITED STATES

DiDomenico, Stanley, JR., Richmond, IL, UNITED STATES

Drizin, Irene, Vadoworth, IL, UNITED STATES

Gontsyan, Arthur R., Vernon Hills, IL, UNITED STATES

Fener, Richard J., Gurnee, IL, UNITED STATES

Schmidt, Robert G., JR., Waukegan, IL, UNITED STATES

Turner, Sean C., Evanston, IL, UNITED STATES

White, Tammie K., Gurnee, IL, UNITED STATES

Zheng, Guo Zbu, Lake Belff, IL, UNITED STATES

NUMBER OF CLAIMS: 68

EXEMPLARY CLAIM: 1

LINE COUNT: 3296

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula (I) are novel VR1 antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity.

KIND DATE

US 2003158188 A1 20030821 US 2002-79324 A1 20020220 (10) ULILITY APPLICATION STEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008 68

NUMBER

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1998:51772 USPATFULL

Method of preparing certain 3-halo-imidazopyridines
Oku, Teruo, Tsukuba, Japan
Kayakiri, Hiroshi, Tsukuba, Japan
Satoh, Shigeki, Tsukuba, Japan
Abe, Yoshito, Ibaraki, Japan
Sawada, Yuki, Tsukuba, Japan
Tanaka, Hirokazu, Takarazuka, Japan
Tyijsawa Pahramaceutical Co., Ltd., Osaka, Japan
(non-U.S. corporation)
  PATENT ASSIGNEE (S) :
                                                                          NUMBER KIND DATE
                                                             US 5750699 1990512 (8)
US 1996-662198 19960512 (8)
Division of Ser. No. US 1995-441786, filed on 16 May
1995, now patented, Pat. No. US 5574042 which is a continuation of Ser. No. US 1994-235632, filed on 29
Apr 1994, now abandoned which is a continuation-in-pa of Ser. No. US 1993-142967, filed on 29 Oct 1993, now abandoned
  PATENT INFORMATION:
APPLICATION INFO.:
RELATED APPLN. INFO.:
                                                                               NUMBER
                                                                                                                  DATE
                                                             GB 1992-22947 19921102
GB 1993-4249 19930303
Utility
Granted
Dentz, Bernard
Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
   PRIORITY INFORMATION:
  DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REFRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 1
LINE COUNT: 7725
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to bradykinin antagonists of the formula: ##STR1##
wherein R.sup.1 is halogen,
                   R.sup.2 and R.sup.3 are each hydrogen, lower alkyl, halo(lower)alkyl or acyl,
                   R.sup.4 is aryl having suitable substituent(s), or a heterocyclic group optionally having suitable substituent(s),
                    Q is O or N--R.sup.11, in which R.sup.11 is hydrogen or acyl, and
                    A is lower alkylene.
                    and pharmaceutically acceptable salts thereof.
  CAS INDEXING IS AVAILABLE FOR THIS PATENT
 L5 ANSWER 8 OF 9 USPATFULL on STN
ACCESSION NUMBER:
TITLE:
INVENTOR(S):

Kayakiri, Hiroshi, Tsukuba, Japan
Satoh, Shigeki, Tsukuba, Japan
Savoh, Shigeki, Tsukuba, Japan
Savoh, Shigeki, Tsukuba, Japan
Savoda, Yuki, Tsukuba, Japan
Savada, Yuki, Tsukuba, Japan
Tanaka, Hirokazu, Takarazuka, Japan
Tanaka, Hirokazu, Takarazuka, Japan
Fujisawa Pharmaceutical Co., Ltd, Osaka, Japan
(non-U.S. corporation)
                                                             NUMBER KIND DATE
US 5574042
US 1007
                                                             US 5574042 19961112
US 1995-441786 19950516 (8)
Continuation of Ser. No. US 1994-235632, filed on 29
Apr 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-142967, filed on 29 Oct 1993, now abandoned
  PATENT INFORMATION:
   APPLICATION INFO.:
RELATED APPLN. INFO.:
                                                                              NUMBER
                                                                                                                  DATE
                                                             GB 1992-22947 19921102
GB 1993-4249 19930303
Utility
Granted
Dentz, Bernard
Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
  PRIORITY INFORMATION:
GB 1993-4249

DOCUMENT TYPE: Utility
FILE SECMENT: Granted
PRIMARY EXAMINER: Dentz, Bernard
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 7946

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to novel bradykinin antagonists of the formula:

##STRIFF wherein R.sup.1 is halogen,
                   R.sup.2 and R.sup.3 are each hydrogen, lower alkyl, halo(lower)alkyl or acyl,
                   R.sup.4 is anyl having suitable substituent(s), or a heterocyclic group optionally having suitable substituent(s),
                    Q is O or N--R.sup.11, in which R.sup.11 is hydrogen or acyl, and
                   A is lower alkylene,
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and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 6 OF 9 USPATFULL ON STN ACCESSION NUMBER: 1998:51772 USPATFULL

TITLE: INVENTOR(S):

L5 ANSWER 9 OF 9 USPATFULL on STN
ACCESSION NUMBER: 94:97714 USPATFULL
Intermediates for making N-aryl and N-heterosrylamide and urea derivatives as inhibitors of acyl coenzyme A: cholesterol acyl transferase (ACAT)
Chang, George, Ivoryton, CT, United States
Hamanaka, Ernest S., Gales Ferry, CT, United States
HCCarthy, Peter A., Pawcatuck, CT, United States
Truong, Thien, Saybrook, CT, United States
Walker, Frederick J., Preston, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

NUMBER KIND DATE

US 5362878 19941108
US 1992-916651 19920720 (7)
Continuation-in-part of Ser. No. US 1991-648677, filed on 21 Mar 1991, now abandoned
Utility
Granted
Chang, Celia
Richardson, Peter C., Ginsburg, Paul H., Bekelnitzky, Seymour G.
5
1

DOCUMENT TYPE: FILE SEGMENT: PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

Seymour G.

EXEMPLARY CLAIM:

1

LINE COUNT:

AB Compounds of the formula #\$FRI## wherein R.sup.21 and R.sup.22 are as defined in the specification which are intermediates useful in the preparation of compounds of the formula #\$FRI## and the pheramaceutically acceptable salts thereof, wherein Q and R.sup.1 are as defined in the specification. The compounds of formula I are inhibitors of acyl coenzyme A: cholesterol acyltransferase (ACAT) and are useful as hypolipidemic and antiatherosclerosis agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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10/616,579
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(FILE 'HOME' ENTERED AT 14:41:04 ON 09 MAR 2005)

FILE 'REGISTRY' ENTERED AT 14:41:08 ON 09 MAR 2005

L1 STRUCTURE UPLOADED

L2 20 S L1 SAM

L3 325 S L1 FULL

FILE 'CA' ENTERED AT 14:41:29 ON 09 MAR 2005

L4 15 S L3

FILE 'USPATFULL' ENTERED AT 14:41:53 ON 09 MAR 2005

L5 9 S L3

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:42:25 ON 09 MAR 2005